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 * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * * *

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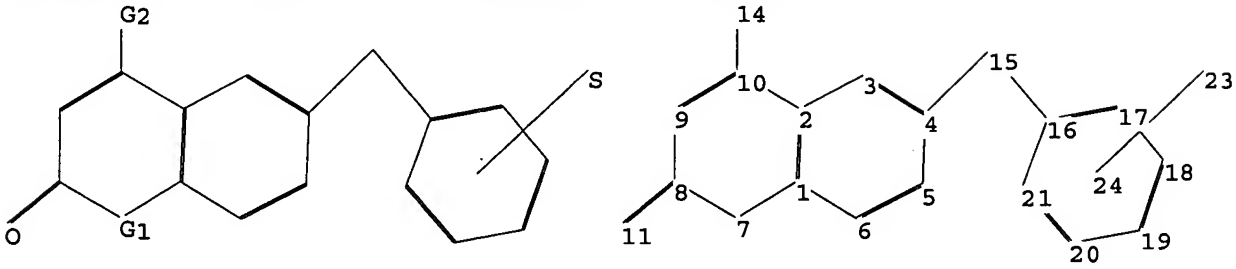


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chain nodes :
11  14  15  23
ring nodes :
1  2  3  4  5  6  7  8  9  10  16  17  18  19  20  21
chain bonds :
4-15  8-11  10-14  15-16
ring bonds :
1-2  1-6  1-7  2-3  2-10  3-4  4-5  5-6  7-8  8-9  9-10  16-17  16-21  17-18  18-19
 19-20  20-21
exact/norm bonds :
1-7  2-10  4-15  7-8  8-9  8-11  9-10  10-14  15-16
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6  16-17  16-21  17-18  18-19  19-20  20-21
isolated ring systems :
containing 1 : 16 :


G1:O,N

G2:C,H,O

Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
11:CLASS  14:CLASS  15:CLASS  16:Atom  17:Atom  18:Atom  19:Atom  20:Atom  21:Atom
23:CLASS  24:CLASS



L1       STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1               STR
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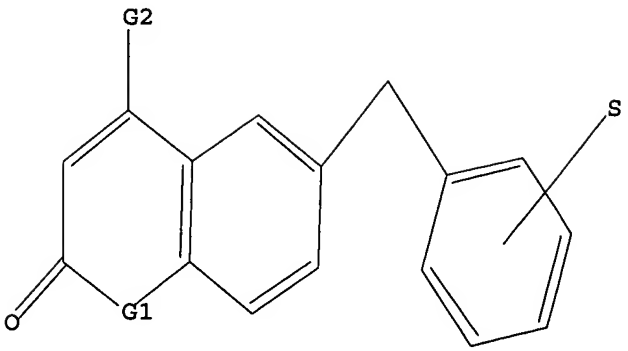


G1 O,N

G2 C,H,O

Structure attributes must be viewed using STN Express query preparation.

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=> s l1 full
L3          277 SEA SSS FUL L1

=> file ca


=> s l3
L4            2 L3

=> d ibib abs fhitstr 1-2
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L4 ANSWER 1 OF 2 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 142:6440 CA
TITLE: Benzyl sulfonamide quinoline and chromene derivatives as androgen receptor antagonists and their preparation, pharmaceutical compositions, and uses
INVENTOR(S): Du, Daniel Yonlong; Procter, Martin James; Fyfe, Matthew Colin Thor; Shah, Vilasben; Williams, Geoffrey
Martyn; Schofield, Karen Lesley
PATENT ASSIGNEE(S): Warner-Lambert Company LLC, USA
SOURCE: PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004101544 | A1 | 20041125 | WO 2004-IB1570 | 20040503 |
| WO 2004101544 | C1 | 20051201 | | |
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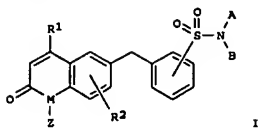
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 2005137228 | A1 | 20050623 | US 2004-824456 | 20040414 |
| PRIORITY APPLN. INFO.: | | | US 2003-470569P | P 20030514 |

OTHER SOURCE(S): MARPAT 142:6440
GI

L4 ANSWER 1 OF 2 CA COPYRIGHT 2006 ACS on STN (Continued)



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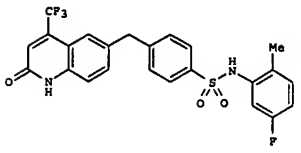
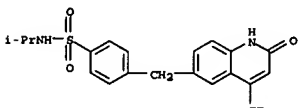


II

AB The invention is directed to 6-(sulfamoylbenzyl)-quinoline/chromene derivs. of formula I, to their use as androgen antagonists, and to formulations containing them. In particular, I are claimed [wherein: M is N(Z) or O; Z is H or alkyl; R1 is H, (halo)alkyl, (halo)alkoxy; R2 is absent, or 1-2 halogen, nitrile, hydroxy, alk(en/yn)yl, alkoxy, haloalkyl, haloalkoxy, SR4, and NR4R5; R4 is H, alkyl, (un)substituted Ph or CH2Ph; R5 = H, alkyl, (un)substituted Ph, benzyl, heteroaryl, or heterocyclic; A and B are independently H, alk(en/yn)yl, alkanol, (un)substituted cycloalkyl, cycloalkenyl, Ph, cycloalkylphenyl, heterocyclic, heteroaryl, alkyl-R6, (CH2)mR7Y(CH2)nXR5, and, (CH2)qCHX1X2; R6 is nitrile, OH, (un)substituted Ph, cycloalkylphenyl, heterocyclic, heteroaryl, cycloalk(en)yl, SR4, NR4R5; R7 is absent, or is (un)substituted cycloalk(en)yl, heteroaryl, heterocyclic, or Ph; R8 is absent or is alkyl, (un)substituted cycloalkyl, cycloalkenyl, heteroaryl, heterocyclic, Ph, or cycloalkylphenyl; m is 0, 1, 2, 3, or 4; Y is absent, or is O, C(O), C(O)O, CH2C(O)O, OH, SH, S, or NR4; n is 0, 1, 2, 3, or 4; X is absent, or is O, C(O), C(O)O, CH2C(O)O, OH, SH, S, or NR4; q is 0, 1, 2, 3, or 4; X1 is OH, nitrile, alk(en/yn)yl, alkanol, haloalkyl, haloalkoxy, (un)substituted cycloalk(en)yl, heteroaryl, heterocyclic, Ph, or cycloalkylphenyl; X2 is cycloalkyl, (un)substituted cycloalkenyl, heteroaryl, heterocyclic, Ph, cycloalkylphenyl, CH2C(O)OR4; including pharmaceutically acceptable salts, solvates, and prodrugs thereof]. Over 200 example compds. were prepared and tested in an androgen receptor assay in vitro. For instance, cyclocondensation of 4-benzylaniline with CF3COCH2CO2Et in refluxing PhMe, sulfonation of the product in H2SO4 at 90°, and treatment with (COCl)2, gave 4-[(2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonyl chloride. Treatment of this compd. or its chromene analog with a variety of amines gave compds. I, e.g., compd. II. In a test for inhibition of binding of DHT to androgen receptors expressed in MDA-MB453 human breast tumor cells, II had an IC50 value of 1.12 μM.

IT 799298-02-5P, N-Isopropyl-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of benzyl sulfonamide quinoline and chromene derivs. as androgen receptor antagonists)

RN 799298-02-5 CA
CN Benzenesulfonamide, 4-[[1,2-dihydro-2-oxo-4-(trifluoromethyl)-6-quinolinyl]methyl]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 2 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 141:174085 CA
TITLE: Preparation of a new class of 6-sulfonamido-quinolin-2-one and 6-sulfonamido-2-oxo-chromene derivatives as androgen receptor antagonists
INVENTOR(S): Du, Daniel Yunlong; Fyfe, Matthew Colin Thor; Procter,
Martin James; Schofield, Karen Lesley; Shah, Vilasben Kanji; Williams, Geoffrey Martyn
PATENT ASSIGNEE(S): Warner-Lambert Company Llc, USA
SOURCE: PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004065539 | A2 | 20040805 | WO 2004-IB117 | 20040108 |
| WO 2004065539 | A3 | 20050428 | | |
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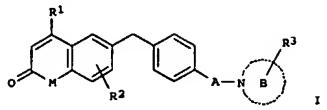
| CA 2511491 | AA | 20040805 | CA 2004-2511491 | 20040108 |
| EP 1587509 | A2 | 20051026 | EP 2004-700746 | 20040108 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| US 2005085466 | A1 | 20050421 | US 2004-758581 | 20040115 |
| PRIORITY APPLN. INFO.: | | | US 2003-441050P | P 20030117 |
| | | | WO 2004-IB117 | W 20040108 |

OTHER SOURCE(S): MARPAT 141:174085
GI

L4 ANSWER 2 OF 2 CA COPYRIGHT 2006 ACS on STN (Continued)



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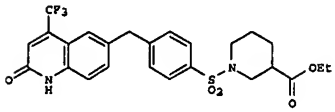


II

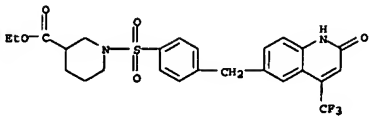
AB The title compds. [I; M = NZ, O; Z = H, alkyl; R1 = H, alkyl, haloalkyl, alkoxy, haloalkoxy; R2 = absent, halo, CN, OH, alkoxy, etc.; A = SO2; R3 = absent, halo, OH, CN, alkoxy, etc.; B = nitrogen containing heterocyclic ring], useful as androgen antagonists, and to relieve conditions associated with inappropriate activation of the androgen receptor, were prepared The exemplified compds. I (such as II) were prepared by solution phase parallel synthesis and tested for AR antagonistic activity. In human breast cancer tumor cell, e.g., MDA-MB-453-MMTV clone 54-19, inhibition studies, 65-examples of compds. I exhibited IC50 values ranging from 0.52- >10 μM. Compds. I are claimed useful for the treatment of conditions associated with inappropriate activation of the androgen receptor, e.g., acne, alopecia and oily skin.

IT 733811-66-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 6-sulfonamido-quinolin-2-one and 6-sulfonamido-2-oxo-chromene derivs. as androgen receptor antagonists)

RN 733811-66-0 CA

CN 3-Piperidinecarboxylic acid, 1-[[4-[[1,2-dihydro-2-oxo-4-(trifluoromethyl)-6-quinolinyl]methyl]phenyl]sulfonyl]-, ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 2 CA COPYRIGHT 2006 ACS on STN (Continued)



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L6           16 L5/COM

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L6 ANSWER 1 OF 16 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 142:6440 MARPAT
TITLE: Benzyl sulfonamide quinoline and chromene derivatives as androgen receptor antagonists and their preparation, pharmaceutical compositions, and uses
INVENTOR(S): Du, Daniel Yonlong; Procter, Martin James; Fyfe, Matthew Colin Thor; Shah, Vilasben; Williams, Geoffrey
Martyn; Schofield, Karen Lesley
PATENT ASSIGNEE(S): Warner-Lambert Company LLC, USA
SOURCE: PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004101544 | A1 | 20041125 | WO 2004-IB1570 | 20040503 |
| WO 2004101544 | C1 | 20051201 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 2005137228 | A1 | 20050623 | US 2004-824456 | 20040414 |

PRIORITY APPLN. INFO.: US 2003-470569P 20030514
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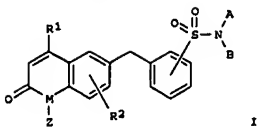
L6 ANSWER 1 OF 16 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



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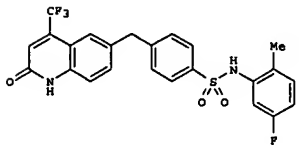
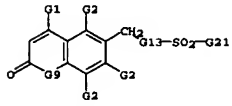


II

AB The invention is directed to 6-(sulfamoylbenzyl)-quinoline/chromene derivs. of formula I, to their use as androgen antagonists, and to formulations containing them. In particular, I are claimed [wherein: M is N(Z) or O; Z is H or alkyl; R1 is H, (halo)alkyl, (halo)alkoxy; R2 is absent, or 1-2 halogen, nitrile, hydroxy, alk(en/yn)yl, alkoxy, haloalkyl, haloalkoxy, SR4, and NR4R5; R4 is H, alkyl, (un)substituted Ph or CH2Ph; R5 = H, alkyl, (un)substituted Ph, benzyl, heteroaryl, or heterocyclic; A and B are independently H, alk(en/yn)yl, alkanol, (un)substituted cycloalkyl, cycloalkenyl, Ph, cycloalkylphenyl, heterocyclic, heteroaryl, alkyl-R6, (CH2)mR7Y(CH2)nXR5, and, (CH2)qCHX1X2; R6 is nitrile, OH, (un)substituted Ph, cycloalkylphenyl, heterocyclic, heteroaryl, cycloalk(en)yl, SR4, NR4R5; R7 is absent, or is (un)substituted cycloalk(en)yl, heteroaryl, heterocyclic, or Ph; R8 is absent or is alkyl, (un)substituted cycloalkyl, cycloalkenyl, heteroaryl, heterocyclic, Ph, or cycloalkylphenyl; m is 0, 1, 2, 3, or 4; Y is absent, or is O, C(O), C(O)O, CH2C(O)O, OH, SH, S, or NR4; n is 0, 1, 2, 3, or 4; X is absent, or is O, C(O), C(O)O, CH2C(O)O, OH, SH, S, or NR4; q is 0, 1, 2, 3, or 4; X1 is OH, nitrile, alk(en/yn)yl, alkanol, haloalkyl, haloalkoxy, (un)substituted cycloalk(en)yl, heteroaryl, heterocyclic, Ph, or cycloalkylphenyl; X2 is cycloalkyl, (un)substituted cycloalkenyl, heteroaryl, heterocyclic, Ph, cycloalkylphenyl, CH2C(O)OR4; including pharmaceutically acceptable salts, solvates, and prodrugs thereof]. Over 200 example compds. were prepared and tested in an androgen receptor assay in vitro. For instance, cyclocondensation of 4-benzylaniline with CF3COCH2CO2Et in refluxing PhMe, sulfonation of the product in H2SO4 at 90°, and treatment with (COCl)2, gave 4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonyl chloride. Treatment of this compd. or its chromene analog with a variety of amines gave compds. I, e.g., compd. II. In a test for inhibition of binding of DHT to androgen receptors expressed in MDA-MB453 human breast tumor cells, II had an IC50 value of 1.12 μM.

L6 ANSWER 1 OF 16 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

MSTR 1



G1 = Me
G9 = O
G13 = o-C6H4
Patent location: claim 1
Note: and pharmaceutically acceptable salts, solvates, and prodrugs

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 16 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 141:174085 MARPAT
TITLE: Preparation of a new class of 6-sulfonamido-quinolin-2-one and 6-sulfonamido-2-oxo-chromene derivatives as androgen receptor antagonists
INVENTOR(S): Du, Daniel Yunlong; Fyfe, Matthew Colin Thor; Procter, Martin James; Schofield, Karen Lesley; Shah, Vilasben Kanji; Williams, Geoffrey Martyn
PATENT ASSIGNEE(S): Warner-Lambert Company Llc, USA
SOURCE: PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004065539 | A2 | 20040805 | WO 2004-IB117 | 20040108 |
| WO 2004065539 | A3 | 20050428 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI | | | | |
| CA 2511491 | AA | 20040805 | CA 2004-2511491 | 20040108 |
| EP 1587509 | A2 | 20051026 | EP 2004-700746 | 20040108 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| US 2005085466 | A1 | 20050421 | US 2004-758581 | 20040115 |

PRIORITY APPLN. INFO.: US 2003-441050P 20030117
WO 2004-IB117 20040108
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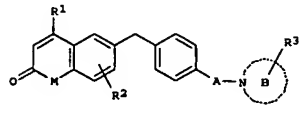

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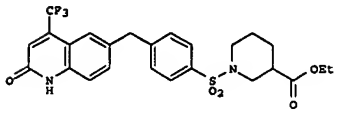
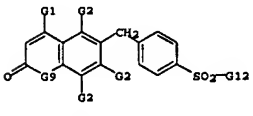


II

L6 ANSWER 2 OF 16 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
AB The title compds. [I; M = NZ, O; Z = H, alkyl; R1 = H, alkyl, haloalkyl, alkoxy, haloalkoxy; R2 = absent, halo, CN, OH, alkoxy, etc.; A = SO2; R3 = absent, halo, OH, CN, alkoxy, etc.; B = nitrogen containing heterocyclic ring], useful as androgen antagonists, and to relieve conditions associated with inappropriate activation of the androgen receptor, were prepared The exemplified compds. I (such as II) were prepared by solution phase parallel synthesis and tested for AR antagonistic activity. In human breast cancer tumor cell, e.g., MDA-MB-453-MMTV clone 54-19, inhibition studies, 65-examples of compds. I exhibited IC50 values ranging from 0.52- >10 μM. Compds. I are claimed useful for the treatment of conditions associated with inappropriate activation of the androgen receptor, e.g., acne, alopecia and oily skin.

MSTR 1

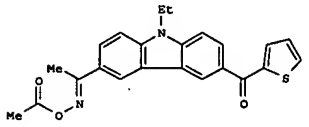



G1 = Me
G9 = O
Patent location: claim 1
Note: and pharmaceutically acceptable salts, solvates, and prodrugs

L6 ANSWER 3 OF 16 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 141:55144 MARPAT
TITLE: Preparation and use of oxime ester photoinitiators with heteroaromatic groups and their photopolymerizable compositions
INVENTOR(S): Tanabe, Junichi; Kura, Hisatoshi; Oka, Hidetaka; Ohwa, Masaki
PATENT ASSIGNEE(S): Ciba Specialty Chemicals Holding Inc., Switz.
SOURCE: PCT Int. Appl., 100 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004050653 | A2 | 20040617 | WO 2003-EP50880 | 20031124 |
| WO 2004050653 | A3 | 20040916 | | |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
| RW: | BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| CA 2505893 | AA | 20040617 | CA 2003-2505893 | 20031124 |
| EP 1567518 | A2 | 20050831 | EP 2003-789449 | 20031124 |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | |
| PRIORITY APPLN. INFO.: | | | EP 2002-406054 | 20021203 |
| | | | WO 2003-EP50880 | 20031124 |

GI

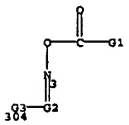



I

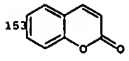
AB The invention is related to preparation and use of oxime ester photoinitiators

L6 ANSWER 3 OF 16 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
with heteroarom. groups and their photopolymerizable compns., wherein the oxime is a deriv. of formula R2C(H):N-O-C(:O)-R1 [R1 = H, cycloalkyl, alkenyl, (un)substituted alkyl, Ph, etc.; R2 = (un)substituted heteroaroylaryl, heteroaroylhetaryl; aroylhetaryl, etc.]. For example, I was prepd. by acylation of N-ethylcarbazole with thiophen-2-carbonylchloride/acetylation with acetyl chloride, oximation of the ethanone, and O-acylation.

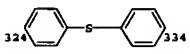
MSTR 1



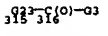

G3 = 153




G23 = 324-308 334-316




G25 = 315



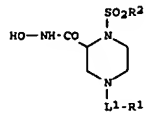

Patent location: claim 1
Note: substitution is restricted
Note: additional derivatization also claimed
Note: incorporates structures 1/2/3

L6 ANSWER 4 OF 16 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 140:42210 MARPAT
TITLE: Preparation of 1-sulfonyl-2-piperazinehydroxamic acids as selective inhibitors of human ADAM-10 for treating cancer, arthritis and diseases related to angiogenesis
INVENTOR(S): Bannen, Lynne Canne; Co, Erick W.; Jammalamadaka, Vasu; Nuss, John M.; Kim, Moon Hwan; Le Tra, Donna; Lew, Amy; Mac, Morrison B.; Mamo, Shumeye; Wen, Zhaoyang; Xu, Wei
PATENT ASSIGNEE(S): Exelixis, Inc., USA
SOURCE: PCT Int. Appl., 94 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

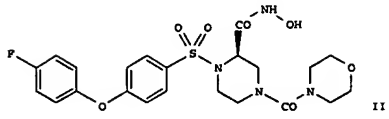
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2003106381 | A2 | 20031224 | WO 2003-US18262 | 20030611 |
| WO 2003106381 | A3 | 20040415 | | |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| CA 2485346 | AA | 20031224 | CA 2003-2485346 | 20030611 |
| EP 1511488 | A2 | 20050309 | EP 2003-736979 | 20030611 |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | |
| JP 2005533789 | T2 | 20051110 | JP 2004-513217 | 20030611 |
| PRIORITY APPLN. INFO.: | | | US 2002-388326P | 20020612 |
| | | | WO 2003-US18262 | 20030611 |

GI

L6 ANSWER 4 OF 16 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



I



II

AB The present invention provides 1-sulfonyl-2-piperazinehydroxamic acids (shown as I; variables defined below; e.g. II) useful for inhibiting the ADAM-10 protein, with selectivity vs. MMP-1. Inhibition activities of 66 examples of I towards ≤8 metalloproteinases are tabulated. Such compds. are useful in the in vitro study of the role of ADAM-10 (and its inhibition) in biol. processes. The present invention also comprises pharmaceutical compns. comprising ≥1 ADAM-10 inhibitors according to the invention in combination with a pharmaceutically acceptable carrier. Such compns. are useful for the treatment of cancer, arthritis, and diseases related to angiogenesis. Correspondingly, the invention also comprises methods of treating forms of cancer, arthritis, and diseases related to angiogenesis in which ADAM-10 plays a critical role. A method of preparation of sulfonyl halide intermediates is claimed. For example, [4-(4-fluorophenoxy)-3,5-difluorophenyl]sulfonyl chloride was prepared in 3 steps (105, 98 and 83 % yields) starting from 3,4,5-trifluoronitrobenzene, 4-fluorophenol, and Cs2CO3 in DMF and involving intermediates 4-(4-fluorophenoxy)-3,5-difluoronitrobenzene and 4-(4-fluorophenoxy)-3,5-difluoroaniline. The prepared [4-(4-fluorophenoxy)-3,5-difluorophenyl]sulfonyl chloride was used in a 5-step procedure (65, 78, -, 69 and 62 % yields) to give II involving intermediates

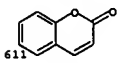
(R)-1-[[4-(4-fluorophenoxy)-3,5-difluorophenyl]sulfonyl]-4-boc-piperazine-2-carboxylic acid, Me (R)-1-[[4-(4-fluorophenoxy)-3,5-difluorophenyl]sulfonyl]-4-boc-piperazine-2-carboxylate, Me (R)-1-[[4-(4-fluorophenoxy)-3,5-difluorophenyl]sulfonyl]piperazine-2-carboxylate trifluoroacetate and Me (R)-1-[[4-(4-fluorophenoxy)-3,5-difluorophenyl]sulfonyl]-4-(ethoxycarbonyl)piperazine-2-carboxylate. Although the methods of preparation of I are not claimed, several example prepns. and characterization data for 66 examples of I are included. For I: L1 is -C(O)-, -S(O)2-, or -(CH2)n-; R1 is -H, -OR11, -(CH2)nR11,

L6 ANSWER 4 OF 16 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
-C(O)R11, or -NR12R13; R2 is -R21-L2-R22 (R21 is satd. or mono- or poly-unsatd. C5-C14-mono- or fused poly- cyclic hydrocarbyl, optionally contg. one or two annular heteroatoms per ring and (un)substituted with 1-3 R50 substituents; L2 is -O-, -C(O)-, -CH2-, -NH-, -SO2- or a direct bond; R22 is satd. or mono- or poly- unsatd. C5-C14-mono- or fused polycyclic hydrocarbyl, optionally contg. one or two annular heteroatoms per ring and (un)substituted with 1-3 R50 substituents); n = 0-3; provided that an O or S is not singly bonded to another O or S in a chain of atoms; addnl. details are given in the claims.

MSTR 1



G3 = phenylene (opt. substd. by G6)
G4 = C(O)
G5 = 611



Patent location: claim 1
Note: also incorporates claim 45
Note: and pharmaceutically acceptable salts, esters, amides, and prodrugs

L6 ANSWER 5 OF 16 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 138:56082 MARPAT
TITLE: Preparation of phosphorus-substituted quinolines as therapeutic agents
INVENTOR(S): Wang, Yihan; Metcalf, Chester A., III; Shakespeare, William C.; Sawyer, Tomi K.; Bohacek, Regine
PATENT ASSIGNEE(S): Ariad Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 222 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2003000705 | A1 | 20030103 | WO 2002-US19672 | 20020621 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 2003105065 | A1 | 20030605 | US 2002-177990 | 20020621 |
| US 6706699 | B2 | 20040316 | | |
| EP 1412367 | A1 | 20040428 | EP 2002-756260 | 20020621 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| US 2004152671 | A1 | 20040805 | US 2003-716239 | 20031117 |

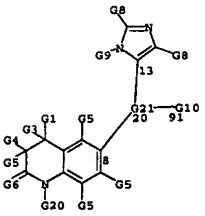
PRIORITY APPLN. INFO.: US 2001-299918P 20010621
US 2002-177990 20020621
WO 2002-US19672 20020621

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Phosphorus-substituted quinolines [e.g. I; wherein X = O, S, amino; R1 = H, O, aliphatic, heteroaliph., aryl, heteroaryl; R2 = aliphatic, heteroaliph., aryl, heteroaryl; R3, R4, R6, R7, independently = H, aliphatic, heteroaliph., aryl, heteroaryl, halo, cyano, alkylcarbonyl, etc.; R5 = aryl, heteroaryl; R8 = H, aliphatic, heteroaliph.; AK = (CR9CR10) (wherein R9, R10, independently = H, aliphatic); p = 0, 1, 2, 3; q = 0, 1, 2, 3, 4, 5; r = 0, 1, 2; at least one of R2 or R5 is a phosphorus-containing moiety] were prepared Compound (II) is exemplary. The prepared compds. are useful as, inter alia, anticancer agents, antiproliferative agents, and agents for the treatment of osteoporosis (no data).

L6 ANSWER 5 OF 16 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
MSTR 1



G6 = O
G17 = phenylene
G21 = 416

G28 = S
G42 = alkylene <containing 1-20 C> (opt. substd.)
Patent location: claim 1
Note: additional substitution also claimed
Note: substitution is restricted
Note: and pharmaceutically acceptable salts

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 6 OF 16 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 138:14004 MARPAT
TITLE: Preparation of benzofurylbenzonitriles and related compounds as histamine H3 receptor ligands.
INVENTOR(S): Cowart, Marlon D.; Bennani, Youssef L.; Faghih, Ramin; Black, Lawrence A.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 46 pp., Cont.-in-part of U.S. Ser. No. 810,648.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 2002183309 | A1 | 20021205 | US 2002-44495 | 20020111 |
| US 2002177589 | A1 | 20021128 | US 2001-810648 | 20010316 |
| CA 2440238 | AA | 20020926 | CA 2002-2440238 | 20020311 |
| WO 2002074758 | A2 | 20020926 | WO 2002-US7107 | 20020311 |
| WO 2002074758 | A3 | 20030320 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1370546 | A2 | 20031217 | EP 2002-715079 | 20020311 |
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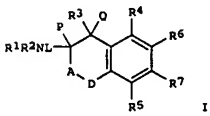
| JP 2005500986 | T2 | 20050113 | JP 2002-573767 | 20020311 |
| BR 2002005829 | A | 20050308 | BR 2002-5829 | 20020311 |

PRIORITY APPLN. INFO.: US 2001-276793P 20010316; US 2001-810648 20010316; US 2002-44495 20020111; US 2002-81207 20020225; WO 2002-US7107 20020311

GI

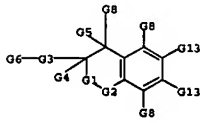


I

L6 ANSWER 6 OF 16 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

AB Title compds. [I; A = CO, bond; D = O, S; L = CH2CH2, CH2CH2CH2; PQ = bond; P, Q = H; R1, R2 = H, alkyl, aryl, arylalkyl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclealkyl, hydroxyalkyl, alkenyl, alkynyl; R1R2N = heterocycle; R3, R4, R5 = H, alkoxy, alkoxycarbonyl, alkyl, alkylcarbonyl, alkylcarbonyloxy, alkylsulfinyl, alkylsulfonyl, akylthio, CO2H, carboxyalkyl, cyano, cyanoalkyl, CHO, halo, haloalkoxy, haloalkyl, OH, hydroxyalkyl, SH, NO2, NRARB, (NRARB)alkyl, (NRARB)CO, (NRARB)SO2; R6, R7 = H, alkoxy, alkoxycarbonyl, alkyl, alkylcarbonyl, alkylcarbonyloxy, alkylsulfinyl, alkylsulfonyl, alkylthio, aryl, CO2H, carboxyalkyl, cyano, cyanoalkyl, cycloalkyl, CHO, halo, haloalkoxy, haloalkyl, heterocyclyl, OH, hydroxyalkyl, SH, NO2, NRARB, (NRARB)alkyl, (NRARB)carbonyl, (NRARB)sulfonyl, L2R20; L2 = alkylene, alkenylene, O, S, CO, N(OR21), N(RA); R20 = aryl, heterocyclyl, cycloalkyl; R21 = H alkyl; RA, RB = H, alkyl, alkylcarbonyl, formyl; provided that ≥1, but not both, of R6, R7 = aryl, heterocyclyl, cycloalkyl, L2R20], were prepared Thus, 4-[2-(2-Et methanesulfonyl)-1-benzofuran-5-yl]benzonitrile (preparation given), 2-(R)-methylpyrrolidine hydrobromide, and Na2CO3 were heated in MeCN at 50° for 48 h to give 34% 4-[2-[2-((2R)-2-methylpyrrolidinyl)ethyl]-1-benzofuran-5-yl]benzonitrile. The latter bound to H3 receptors with Ki = 4.44 nM.

MSTR 1



G1 = C(O)
G2 = O
G8 = alkoxycarbonyl <containing 1-10 C>
G14 = C(O)
G15 = Ph (opt. substd. by 1 or more G17)
G17 = alkylthio <containing 1-10 C>
Patent location: claim 1
Note: or pharmaceutically acceptable salts or prodrugs
Note: substitution is restricted

L6 ANSWER 7 OF 16 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 137:247602 MARPAT
TITLE: Preparation of (pyrrolidinylalkyl)benzofurans and analogs as histamine-3 receptor ligands for treatment of disorders related to CNS neurotransmission
INVENTOR(S): Cowart, Marlon D.; Bennani, Youssef L.; Faghih, Ramin; Gfesser, Gregory A.; Black, Lawrence A.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: PCT Int. Appl., 268 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002074758 | A2 | 20020926 | WO 2002-US7107 | 20020311 |
| WO 2002074758 | A3 | 20030320 | | |
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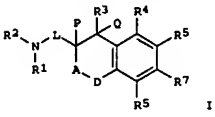
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 2002177589 | A1 | 20021128 | US 2001-810648 | 20010316 |
| US 2002183309 | A1 | 20021205 | US 2002-44495 | 20020111 |
| US 2002169188 | A1 | 20021114 | US 2002-81207 | 20020225 |
| US 6969730 | B2 | 20051129 | | |
| CA 2440238 | AA | 20020926 | CA 2002-2440238 | 20020311 |
| EP 1370546 | A2 | 20031217 | EP 2002-715079 | 20020311 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP 2005500986 | T2 | 20050113 | JP 2002-573767 | 20020311 |
| BR 2002005829 | A | 20050308 | BR 2002-5829 | 20020311 |
| US 2005192277 | A1 | 20050901 | US 2005-102415 | 20050408 |

PRIORITY APPLN. INFO.: US 2001-276793P 20010316; US 2001-810648 20010316; US 2002-44495 20020111; US 2002-81207 20020225; WO 2002-US7107 20020311

GI

L6 ANSWER 7 OF 16 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



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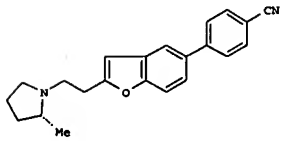
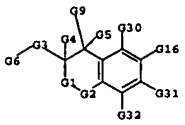


II

AB Title compds. I [wherein A = CO or covalent bond; D = O or S; L = alkylene, fluoroalkylene, or hydroxyalkylene; P and Q taken together form a covalent bond or are both H; R1 and R2 = independently H, (cyclo)alkyl, aryl(alkyl), cycloalkylalkyl, heterocyclyl(alkyl), hydroxyalkyl, alkenyl, or alkynyl; or NR1R2 = heterocyclyl; R3 = H, alkoxy(carbonyl), (halo)alkyl, alkylcarbonyl(oxy), alkylsufinyl, alkylsulfonyl, alkylthio, aryl, carboxy(alkyl), cyano(alkyl), formyl, halo(alkoxy), heterocyclyl, hydroxy(alkyl), SH, NO2, or (un)substituted amino(alkyl), carbamoyl, or sulfamoyl; R4-R7 = independently R3 or L2R20 or R20L3R22; L2 = alkylene, alkenylene, O, S, SO, SO2, CO, C:NOR21, or (un)substituted amino; L3 = covalent bond, alkylene, alkenylene, O, S, CO, N:OR21, or (un)substituted amino; R20 and R22 = independently aryl, heterocyclyl, or cycloalkyl; R21 = H or alkyl; or pharmaceutically acceptable salts, esters, amides, or prodrugs thereof] where prepared for modulation of the histamine-3 (H3) receptors. For example, 4-hydroxy-4'-cyanobiphenyl was treated with NaI, NaOH, and NaOCl in MeOH to give 4'-hydroxy-3'-iodo-[1,1'-biphenyl]-4-carbonitrile (53%). Cyclization with 3-butyn-1-ol in DMF in the presence of CuI and Pd(PPh3)2Cl2 afforded 4-[2-(2-hydroxyethyl)-1-benzofuran-5-yl]benzonitrile (95%). Mesylation (89%), followed by addition of (2R)-2-methylpyrrolidine•HBr and Na2CO3 in AcCN (34%), produced II. The latter displayed binding activity to H3 receptors in rat brain cortex tissue with Ki of 4.44 nM. I are H3 receptor ligands that modulate function of the H3 receptor by antagonizing its activity. Thus, I are useful for the treatment of disorders ameliorated by H3 receptor ligands, especially Alzheimer's disease, attention-deficit hyperactivity disorder, epilepsy, narcolepsy, obesity, cognitive impairment, deficits of memory, deficits of learning, and dementia (no data).

MSTR 1

L6 ANSWER 7 OF 16 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



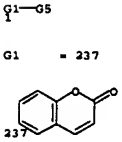
G1 = C(O)
G2 = O
G9 = alkoxycarbonyl <containing 1-10 C>
G17 = C(O)
G19 = Ph (opt. substd. by (1-3) G25)
G25 = alkylthio <containing 1-10 C>
Patent location: claim 1
Note: substitution is restricted
Note: or pharmaceutically acceptable salts, esters, amides, or prodrugs

L6 ANSWER 8 OF 16 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 137:239851 MARPAT
TITLE: Electrophoretic displays using improved dispersants
INVENTOR(S): Obikawa, Takeshi; Katase, Makoto; Kinoshita, Satoshi; Uehara, Masamitsu
PATENT ASSIGNEE(S): Seiko Epson Corp., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 15 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 2002268097 | A2 | 20020918 | JP 2001-70371 | 20010313 |
| US 2002175891 | A1 | 20021128 | US 2002-97361 | 20020312 |
| US 6650463 | B2 | 20031118 | | |
| PRIORITY APPLN. INFO.: | | | JP 2001-70371 | 20010313 |
| | | | JP 2001-70372 | 20010313 |

AB The displays use organic compds. having ≥2 rings in structures in dispersants for electrophoretic particles. The displays have improved reliability and response speed.

MSTR 1



G1 = 237

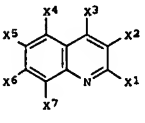


G2 = SO3H
G9 = C(O)
G10 = Ph (opt. substd. by 1 or more G2)
Patent location: claim 1

L6 ANSWER 9 OF 16 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 137:176913 MARPAT
TITLE: Yellow- to red light-emitting organic electroluminescence devices
INVENTOR(S): Mori, Tomohiko; Fujikawa, Hisayoshi; Ishii, Masahiko; Takeuchi, Hisato; Taga, Yasunori
PATENT ASSIGNEE(S): Toyota Central Research and Development Laboratories, Inc., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

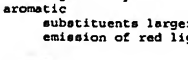
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 2002237384 | A2 | 20020823 | JP 2001-31256 | 20010207 |
| PRIORITY APPLN. INFO.: | | | JP 2001-31256 | 20010207 |

GI



AB In the devices, (A) dyes Ar[C(Rn):C(R'n)]n-Q (n ≥2) or (B) dyes I [≥1 of X1-7 = [C(Rn):C(R'n)]n-Q; R, R' = H, OH, halo, alkyl, etc.; Ar = aromatic containing N, O, S atoms; Q = (un)substituted phenyl] are added to organic layers of triphenylamine derivs. having condensed polycyclic aromatic substituents larger than naphthalene. Devices showing stable and durable emission of red light having high color purity were obtained.

MSTR 1

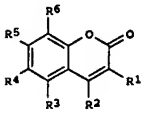


G1 = quinolinyl (opt. substd. by 1 or more G6)
G2 = alkenylene <containing 4 or more C, unbranched> (opt. substd. by 1 or more G3)
G4 = Ph (opt. substd. by 1 or more G5)
G5 = alkylthio
G6 = alkenylene <containing 4 or more C, unbranched> (opt. substd. by 1 or more G3) / OH
Patent location: claim 1
Note: additional ring formation also claimed

L6 ANSWER 10 OF 16 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 132:343292 MARPAT
TITLE: Retinoyl coumarin compounds, process for preparing and pharmaceutical compositions containing them
INVENTOR(S): Xu, Shiping; Han, Rui; Li, Lanmin; Cao, Xihua; Xu, Song; Xia, Lihjuan; Liu, Hongyan; You, Shengquan
PATENT ASSIGNEE(S): Institute of Materia Medica, Chinese Academy of Medical Sciences, Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 32 pp.
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| CN 1207392 | A | 19990210 | CN 1997-116602 | 19970731 |
| CN 1108297 | B | 20030514 | | |
| PRIORITY APPLN. INFO.: | | | CN 1997-116602 | 19970731 |

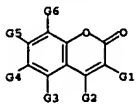
GI



AB The retinoyl coumarins (I; R1 = H, C1-18 alkyl, arylalkyl, haloalkyl, or CXYR7; R2 = H, C1-18 alkyl, haloalkyl, alkoxy, alkylcarbonyloxy, halo, OH, Ph, substituted Ph, CXXR7, or OR; R3 = H, OH, halo, C1-18 alkyl, haloalkyl, alkylcarbonyloxy, alkoxy, OR, CH2OR, or CXXR7; R4 = H, halo, C1-18 alkyl, haloalkyl, alkoxy, alkylcarbonyloxy, OH, or CXXR7; R5, and/or R6 = H, C1- 18 alkyl, haloalkyl, alkoxy, halo, alkylcarbonyloxy, OR, or CXYR7; R7 = H, halo, OH, C1-18 alkyl, haloalkyl, alkoxy, alkylcarboxy, or substituted phenyl; the substituted on benzene ring = C1-4 alkyl, haloalkyl, alkoxy, OH, halo, COOH, alkylcarboxy, NO2, CF3, SO3H, or NR8R9; R8, and/or R9 = H, alkyl, cycloalkyl, or R8 + R9 = heterocycle; X, and/or Y = H, N, NH, C, CH, or O; and R = retinoyl) is synthesized by cyclizing 2-R6-3-R5-4-R4-5-R3-phenol with 3-R2-2-R1-acrylic acid or its derivative, and allowing to react with retinoic acid. The retinoyl coumarins are useful for treatment of cancer, precancerous lesion, and dermatosis, etc.

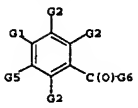
MSTR 1

L6 ANSWER 10 OF 16 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



G14 = C(O)
G15 = Ph (opt. substd. by 1 or more G16)
G16 = SO3H
Patent location: claim 1
Note: substitution is restricted

L6 ANSWER 11 OF 16 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



G5 = SH
G6 = quinolinyl (opt. substd. by 1 or more G7)
G7 = alkyl <containing 1-6 C>
(opt. substd. by (3) halo) / OH
Patent location: claim 10

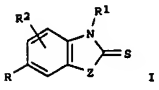
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L6 ANSWER 11 OF 16 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 129:81721 MARPAT
TITLE: Preparation of 3H-benzoxazole-2-thiones as peripheral analgesics
INVENTOR(S): Kalcheva-Batchvarova, Venetka Borissova; Boteva, Petya
Christova; Antonova, Antonina Tsovneva; Petrov, Ognyan
Ivanov; Mincheva, Zoia Petkova; Caignard, Daniel-Henri; Renard, Pierre; Bizot-Espiard, Jean-Guy
PATENT ASSIGNEE(S): Adir et Compagnie, Fr.
SOURCE: PCT Int. Appl., 32 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9825913 | A1 | 19980618 | WO 1997-FR2171 | 19971202 |
| W: AU, BR, CA, CN, HU, JP, NO, NZ, PL, US | | | | |
| RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| FR 2756825 | A1 | 19980612 | FR 1996-15145 | 19961210 |
| FR 2756825 | B1 | 19990108 | | |
| AU 9878472 | A1 | 19980703 | AU 1998-78472 | 19971202 |
| ZA 9711098 | A | 19980615 | ZA 1997-11098 | 19971210 |
| PRIORITY APPLN. INFO.: | | | FR 1996-15145 | 19961210 |
| | | | WO 1997-FR2171 | 19971202 |

GI



I

AB Title compds. [I; R = CXYAr; Ar = (un)substituted (hetero)aryl; R1 = H or alkyl; R2 = H, halo, alkyl, alkoxy, etc.; X = H and Y = OH or XY = O; Z = O or S] were prepared Thus, 2-amino-5-benzoyl-4-chlorophenol was cyclocondensed with EtOCS2K to give I (R = COPh, R1 = H, R2 = 5-chloro, Z = O). Data for biol. activity of I were given.

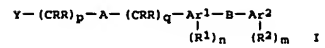
MSTR 5

L6 ANSWER 12 OF 16 MARPAT COPYRIGHT 2006 ACS on STN

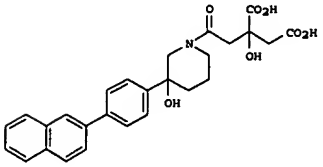
ACCESSION NUMBER: 125:142750 MARPAT
TITLE: Polyarylcarbamoylaza- and -carbamoylalkanedioic acids as squalene synthase inhibitors
INVENTOR(S): Paula, Henry W.; Choi, Yong-Mi; Studt, Robert W.; Maguire, Martin P.; Spada, Alfred P.; Cha, Don D.
PATENT ASSIGNEE(S): Rhone-Poulenc Rorer Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9618615 | A1 | 19960620 | WO 1995-US15364 | 19951129 |
| W: AL, AM, AT, AU, BB, BG, BR, BY, CA, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ | | | | |
| RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| US 5556990 | A | 19960917 | US 1994-357481 | 19941216 |
| CA 2207429 | AA | 19960620 | CA 1995-2207429 | 19951129 |
| AU 9643698 | A1 | 19960703 | AU 1996-43698 | 19951129 |
| AU 695852 | B2 | 19980827 | | |
| EP 801644 | A1 | 19971022 | EP 1995-942489 | 19951129 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE | | | | |
| JP 10511084 | T2 | 19981027 | JP 1995-518973 | 19951129 |
| PRIORITY APPLN. INFO.: | | | US 1994-357481 | 19941216 |
| | | | WO 1995-US15364 | 19951129 |

GI



I



II

AB This invention relates to a class of novel dicarboxy amide derivs. of lipophilic amines I wherein: A is O, S, NR, SO, SO2, or a bond; B is

L6 ANSWER 12 OF 16 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
(CRR)1-3, O, S, NR, SO, SO2, RC:CR, C.tplbond.C, CO, or a bond; Y is, e.g., RNZ(CRR)dCRR, N-Z-piperidyl, where Z is CONCR7[(CR3R4)fCO2R][(CR5R6)gCO2R]; W is a bond, (CRR)h, or NR; R = H, alkyl; R1, R2 are independently H, alkyl, alkoxy, OH, halo, haloalkyl, Ph;
R3-R6 are independently H, alkyl; R7 is H, NRR, or OH and when W is (CRR)h
then R7 is OH; one of R3-R7 is OH; Ar1 and Ar2 are independently a mono- or diaryl or heteroaryl; p and q are independently 0-3; p + q is 0-4; d is
0-3; p + q + d is 1-3; f is 0-2; g is 0-2; h is 1-2; m and n are independently 0-2; which exhibit squalene synthase inhibition properties. Compds. of this invention reduce levels of serum cholesterol in the body without significantly reducing mevalonic metabolite synthesis. This invention relates also to pharmacol. comprns. and method of treatment for lowering serum cholesterol levels using the compds. of this invention. Thus, e.g., coupling of prepd. intermediates 3-hydroxy-3-(4-naphth-2-ylphenyl)piperidine with 3-hydroxy-3,4-bis(ethoxycarbonyl)butanoic acid afforded the diester intermediate which was hydrolyzed to the diaryl carbamoyl alkanedioic acid II which exhibited inhibition of squalene synthase with IC50 = 27 nM.

MSTR 1A

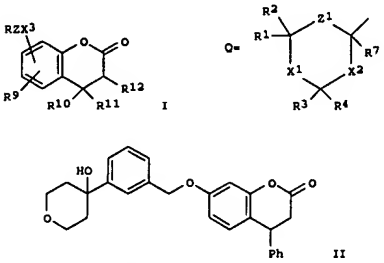
G1—G16—G17—G18

G10 = S
G12 = OH
G16 = phenylene (opt. substd. by (1-2) G12)
G17 = C(O)
G18 = quinolinyl (opt. substd. by (1-2) G12)
Derivative: or pharmaceutically acceptable salts
Patent location: claim 1
Note: substitution is restricted
Stereochemistry: stereoisomers, enantiomers, diastereoisomers, and racemic mixtures

L6 ANSWER 13 OF 16 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 123:285781 MARPAT
TITLE: Preparation of (pyranylbenzyloxy)coumarins and analogs as leukotriene biosynthesis inhibitors
INVENTOR(S): Portin, Rejean; Girard, Yves; Grimm, Erich; Hutchinson, John; Scheigetz, John
PATENT ASSIGNEE(S): Merck Frosst Canada Inc., Can.
SOURCE: Can. Pat. Appl., 85 pp.
CODEN: CPXXEB
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| CA 2125824 | AA | 19941224 | CA 1994-2125824 | 19940614 |
| US 5424320 | A | 19950613 | US 1993-81528 | 19930623 |
| PRIORITY APPLN. INFO.: | | | US 1993-81528 | 19930623 |

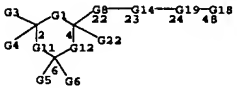
GI



AB Title compds. [I; R = heterocyclyl group Q; R1 = H, OH, alkyl(oxy); R2,R4 = H, alkyl; R1R2 = O; R3 = H, (hydroxy)alkyl, alkoxyalkyl; R1R3 = (saturated)(oxa)alkylene; R7 = H, OH, alkyl(oxy), etc.; R9 = H, halo, OH, alkyl(oxy), etc.; R10 = H, alkyl, heteroaryl, etc.; R11,R12 = H, alkyl; R11R12 = bond; X1 = O, SO0-2, CH2; X2 = O, S, CH2, etc.; X3 = O, SO0-2, OCH2, CH2O, etc.; Z = (hetero)arylene; Z1 = CH(R5)m; R5 = H, OH, alkyl(oxy); m = 0 or 1] were prepared as leukotriene biosynthesis inhibitors (no data). Thus, 2,4-(HO)2C6H3COPh was etherified by 3-(4-hydroxytetrahydropyran-4-yl)benzyl bromide (preparation given) and the product cyclocondensed with Ph3P:CH2CO2Me to give title compound II.

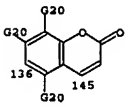
L6 ANSWER 13 OF 16 MARPAT COPYRIGHT 2006 ACS on STN (Continued)

MSTR 1



G8 = phenylene (opt. substd. by (1-3) G9)
G9 = alkylthio <containing 1-7 C>
G14 = 2O5

G18 = Me
G19 = 136-23 145-48

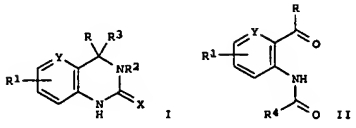


Derivative: or pharmaceutically acceptable salts
Patent location: claim 1
Note: substitution is restricted

L6 ANSWER 14 OF 16 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 122:239715 MARPAT
TITLE: Preparation of antiviral quinazolinone derivates
INVENTOR(S): Koenig, Bernhard; Leser, Ulrike; Mertens, Alfred
PATENT ASSIGNEE(S): Boehringer Mannheim G.m.b.H., Germany
SOURCE: Ger. Offen., 10 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

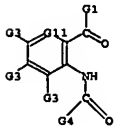
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| DE 4320347 | A1 | 19941222 | DE 1993-4320347 | 19930619 |
| PRIORITY APPLN. INFO.: | | | DE 1993-4320347 | 19930619 |

GI

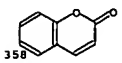


AB The title compds. [I; R = (un)substituted Ph, (un)substituted mono- to tricyclic heterocyclyl; R1 = H, (un)branched (un)saturated aliphatic residue, alkoxy, alkylmercapto, alkylsulfinyl, alkylsulfonyl, etc.; R2 = (un)substituted alkyl, (un)substituted alkenyl, cycloalkyl; R3 = H, optionally halo-substituted C1-6 alkyl; X = O, S; Y = N, CR1], useful as antiviral agents (no data) for the treatment of retroviral infections (no data), are prepared by the cyclocondensation of aryl ketones II (R4 = C1-6 alkyl, CBr3, CCl3, CF3) with amines H2NR2 in the presence of a catalytic amount of an acid. Thus, 4-phenyl-4-trichloromethyl-3,4-dihydroquinazolin-2(1H)one, m.p. 223-225°, was prepared from 2-trichloroacetylaminobenzophenone and EtNH2.HCl in DMSO.

MSTR 2



L6 ANSWER 14 OF 16 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
G1 = 358



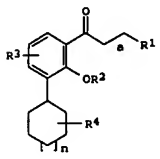
G11 = 25

G12 = alkylthio <containing 1-6 C>
Patent location: claim 9

L6 ANSWER 15 OF 16 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 119:225684 MARPAT
TITLE: Preparation of (3-acylaryl)cycloalkyl derivatives as inflammation inhibitors
INVENTOR(S): Naik, Ramachandra Ganapati; Mumbaikar, Vilas Narayan; Vasumathy, Rangarajan; Lakdawala, Aftab Dwoodbhai; Shirole, Mandakini Vithalrao; Lal, Bansi; Blumbach, Juergen; Weithmann, Klaus Ulrich; Bartlett, Robert Ryder
PATENT ASSIGNEE(S): Hoechst A.-G., Germany
SOURCE: Eur. Pat. Appl., 26 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 551849 | A1 | 19930721 | EP 1993-100279 | 19930111 |
| EP 551849 | B1 | 19961009 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE | | | | |
| IN 173734 | A | 19940702 | IN 1991-BO194 | 19910702 |
| CZ 285937 | B6 | 19991215 | CZ 1992-4036 | 19921231 |
| SK 280617 | B6 | 20000516 | SK 1992-4036 | 19921231 |
| AT 143935 | E | 19961015 | AT 1993-100279 | 19930111 |
| ES 2093860 | T3 | 19970101 | ES 1993-100279 | 19930111 |
| JP 06009476 | A2 | 19940118 | JP 1993-4720 | 19930114 |
| JP 2949000 | B2 | 19990913 | | |
| CA 2087414 | AA | 19930717 | CA 1993-2087414 | 19930115 |
| CA 2087414 | C | 20020416 | | |
| AU 9331847 | A1 | 19930722 | AU 1993-31847 | 19930115 |
| AU 662382 | B2 | 19950831 | | |
| ZA 9300267 | A | 19930823 | ZA 1993-267 | 19930115 |
| CN 1076186 | A | 19930915 | CN 1993-100484 | 19930115 |
| CN 1036779 | B | 19971224 | | |
| HU 63598 | A2 | 19930928 | HU 1993-110 | 19930115 |
| RU 2125553 | C1 | 19990127 | RU 1993-4417 | 19930115 |

PRIORITY APPLN. INFO.: EP 1992-100664 19920116
GI



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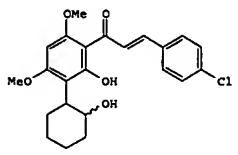
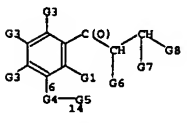


II

L6 ANSWER 15 OF 16 MARPAT COPYRIGHT 2006 ACS on STN (Continued)
AB Title compds. I [R1 = (substituted) C1-6 alkyl, CO2H or CO2R (R = C1-4 alkyl), or various (substituted) Ph, quinolines, isoquinolines, and other heterocyclyls; R2 = H, C1-6 alkyl, COR' (R' = C1-6 alkyl throughout this abstract); R3 = 1-3 residues (independent of each other) = H, C1-6 alkyl, COR', CO2R', OH, OR', O2CR', halo; R4 = H, OH, OR', O2CR', CO2H, CO2R', various aminoalkylcarbonyloxy groups; n = 0-2; a = optional addnl. bond] and their isomers are prepared as inflammation inhibitors, particularly for prevention or treatment of chronic inflammatory conditions. Thus, reaction of 3 equiv 4-chlorobenzaldehyde with trans-(±)-2-(3-acetyl-4,6-dimethoxy-2-hydroxyphenyl)cyclohexanol [preparation given starting with 1,2,4,6-Br(MeO)3C6H2 and cyclohexanone] in 10% alc. NaOH at room temperature for 24 h followed by workup afforded title compound II in 68% yield. Compound II inhibited leukotriene-induced contractions of isolated guinea pig ileum with apparatus IC50 of 1.68 x 10-6 M, as well as inhibited granuloma formation induced by carrageenin, and microanaphylactic shock of guinea pigs. Pharmaceutical formulations of I are also claimed (no examples).

MSTR 1C



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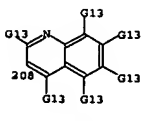
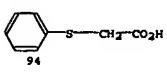


G10 = 94



G13 = OH / CO2H / alkyl <containing 1-4 C> (substd. by G10)
Patent location: claim 1

L6 ANSWER 16 OF 16 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 116:235459 MARPAT
TITLE: Preparation of [(quinolylmethoxy)benzyloxy]chromenonec arboxylates and analogs as leukotriene antagonists
INVENTOR(S): Huang, Fu Chich; Campbell, Henry F.; Learn, Keith S.
PATENT ASSIGNEE(S): USA
SOURCE: U.S., 20 pp. Cont.-in-part of U.S. 4,977,162.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 5082849 | A | 19920121 | US 1991-659403 | 19910308 |
| US 4977162 | A | 19901211 | US 1989-379528 | 19890713 |
| WO 9101123 | A2 | 19910207 | WO 1990-US3847 | 19900709 |
| WO 9101123 | A3 | 19910307 | | |
| W: AU, CA, JP, US | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, IT, LU, NL, SE | | | | |

PRIORITY APPLN. INFO.: US 1989-379528 19890713
WO 1990-US3847 19900709
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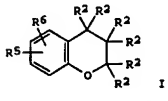

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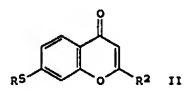
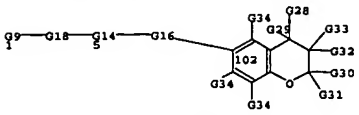


II

AB Title compds. [I; R2 = H, (CRR1)eD(CRR1)fEZ; vicinal R2 may form band; geminal R2 may form O; R = H, (CH2)xM(CH2)yX; D = O, S, NR1, CR1:CR1; E = bond, CR1:CR1; M = band, O, S, NR1, CR1:CR1; R1 = H, (ar)alkyl; R5 = R7(CR1R1)aA(CR1R1)bZ1(CR1R1)cB(CR1R1)d; A = bond, O, S, CR1:CR1; B = bond, O, SO0-2, NR, CO, etc.; R6 = H, OH, alkoxy, halo, haloalkyl, etc.; R7 = (substituted) quinolyl; X = H, (cyclo)alkyl, aryl, acyl, alkoxy, etc.; Z = cyano, CO2R1, tetrazolyl, etc.; Z1 = (substituted) phenylenediyl; a, b = 0, 1; c-f, x, y = 0-3] were prepared Thus, 2,4-(HO)2C6H3COMe was cyclocondensed with (CO2Et)2 and the product treated, in turn, with NH3 and POCl3 to give chromenone II (R2 = cyano, R5 = OH) which was condensed with 2-[(3-chloromethylphenoxy)methyl]quinoline (preparation given) to give, after cyclocondensation with NaN3, II [R2 = 5-tetrazolyl, R5 = 3-(R7CH2O)C6H4CH2O, R7 = 2-quinolyl].

MSTR 1C

L6 ANSWER 16 OF 16 MARPAT COPYRIGHT 2006 ACS on STN (Continued)




G14 = phenylene (opt. substd.)
G16 = C(O)
G18 = S
G30+G31= O
Derivative: or pharmaceutically acceptable salts
Patent location: claim 1
Note: additional ring formation possible

```
=> d his

     (FILE 'HOME' ENTERED AT 15:01:10 ON 24 JAN 2006)

     FILE 'REGISTRY' ENTERED AT 15:01:15 ON 24 JAN 2006
L1              STRUCTURE UPLOADED
L2           16 S L1 SAM
L3          277 S L1 FULL

     FILE 'CA' ENTERED AT 15:01:40 ON 24 JAN 2006
L4            2 S L3

     FILE 'MARPAT' ENTERED AT 15:01:55 ON 24 JAN 2006
L5           18 S L1 FULL
L6           16 S L5/COM

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---Logging off of STN---


=>
Executing the logoff script...


=> LOG Y

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1/24/2004

10/824,456

Connecting via Winsock to STN

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PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

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 NEWS  5  DEC 14  2006 MeSH terms loaded for MEDLINE file segment of TOXCENTER
 NEWS  6  DEC 14  CA/CAplus to be enhanced with updated IPC codes
 NEWS  7  DEC 21  IPC search and display fields enhanced in CA/CAplus with the
                  IPC reform
 NEWS  8  DEC 23  New IPC8 SEARCH, DISPLAY, and SELECT fields in USPATFULL/
                  USPAT2

 NEWS EXPRESS  JANUARY 03 CURRENT VERSION FOR WINDOWS IS V8.01,
               CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
               AND CURRENT DISCOVER FILE IS DATED 19 DECEMBER 2005.
               V8.0 USERS CAN OBTAIN THE UPGRADE TO V8.01 AT
               http://download.cas.org/express/v8.0-Discover/

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|---|---|---|
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DICTIONARY FILE UPDATES: 11 JAN 2006 HIGHEST RN 871792-80-2

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* available and contains the CA role and document type information. *
* *
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http://www.cas.org/ONLINE/UG/regprops.html

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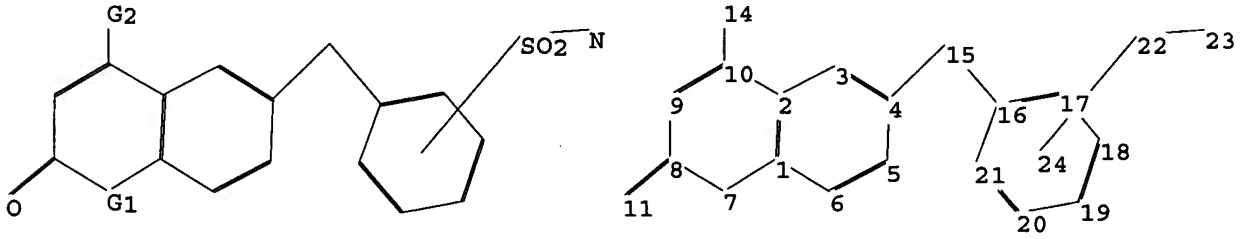


chain nodes :
11 14 15 22 23
ring nodes :
1 2 3 4 5 6 7 8 9 10 16 17 18 19 20 21
chain bonds :
4-15 8-11 10-14 15-16 22-23
ring bonds :
1-2 1-6 1-7 2-3 2-10 3-4 4-5 5-6 7-8 8-9 9-10 16-17 16-21 17-18 18-19 19-20 20-21
exact/norm bonds :

1-7 2-10 4-15 7-8 8-9 8-11 9-10 10-14 15-16 22-23
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 16-17 16-21 17-18 18-19 19-20 20-21
isolated ring systems :
containing 1 : 16 :

G1:O,N

G2:C,H,O

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS 14:CLASS 15:CLASS 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom
22:CLASS 23:CLASS 24:CLASS

L1 STRUCTURE UPLOADED

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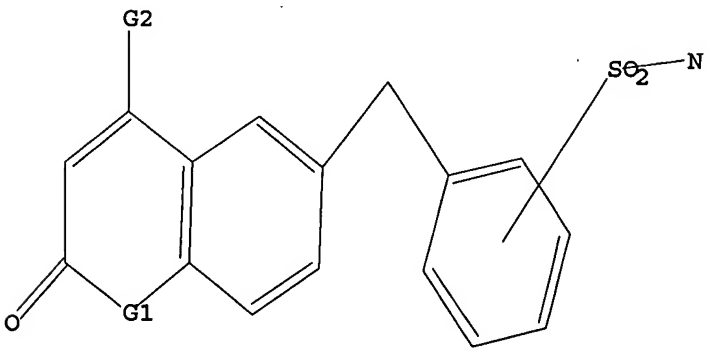
L1 STR




G1 O,N
G2 C,H,O

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED - 47 TO ITERATE

100.0% PROCESSED 47 ITERATIONS 11 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 529 TO 1351
PROJECTED ANSWERS: 22 TO 418

L2 11 SEA SSS SAM L1

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100.0% PROCESSED      872 ITERATIONS                          207 ANSWERS
SEARCH TIME: 00.00.01

L3          207 SEA SSS FUL L1

=> file ca
COST IN U.S. DOLLARS                              SINCE FILE      TOTAL
                                                     ENTRY    SESSION
FULL ESTIMATED COST                                 166.94     167.15

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FILE LAST UPDATED: 5 Jan 2006  (20060105/ED)

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=> s l3
L4            1 L3

=> d ibib abs fhtstr hitrn
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PATS -------- PI, SO
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              SCAN must be entered on the same line as the DISPLAY,
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              its structure diagram
HITSEQ ------ HIT RN, its text modification, its CA index name, its
              structure diagram, plus NTE and SEQ fields
FHITSTR ----- First HIT RN, its text modification, its CA index name, and
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FHITSEQ ----- First HIT RN, its text modification, its CA index name, its
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CBIB -------- AN, plus Compressed Bibliographic Data
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FAM --------- AN, PI and PRAI in table, plus Patent Family data
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FBIB -------- AN, BIB, plus Patent FAM
IND --------- Indexing data
IPC --------- International Patent Classifications
MAX --------- ALL, plus Patent FAM, RE
PATS -------- PI, SO
SAM --------- CC, SX, TI, ST, IT
SCAN -------- CC, SX, TI, ST, IT (random display, no answer numbers;
              SCAN must be entered on the same line as the DISPLAY,
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STD --------- BIB, CLASS

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IALL -------- ALL, indented with text labels
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              its structure diagram
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              structure diagram, plus NTE and SEQ fields
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FHITSTR, HITSEQ, FHITSEQ, KWIC, and OCC) may be used with DISPLAY ACC
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     FILE 'REGISTRY' ENTERED AT 15:39:53 ON 12 JAN 2006
L1             STRUCTURE UPLOADED
L2              11 S L1 SAM
L3             207 S L1 FULL

     FILE 'CA' ENTERED AT 15:40:13 ON 12 JAN 2006
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10/824,456

L4 1 S L3

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L4 ANSWER 1 OF 1 CA COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 142:6440 CA
TITLE: Benzyl sulfonamide quinoline and chromene derivatives as androgen receptor antagonists and their preparation, pharmaceutical compositions, and uses
INVENTOR(S): Du, Daniel Yonlong; Procter, Martin James; Fyfe, Matthew Colin Thor; Shah, Vilasben; Williams, Geoffrey
Martyn; Schofield, Karen Lesley
PATENT ASSIGNEE(S): Warner-Lambert Company LLC, USA
SOURCE: PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004101544 | A1 | 20041125 | WO 2004-IB1570 | 20040503 |
| WO 2004101544 | C1 | 20051201 | | |
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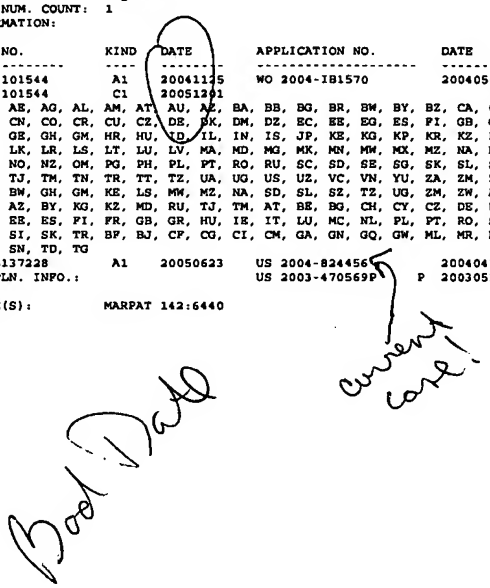
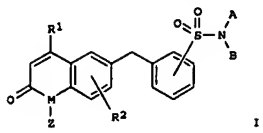
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 2005137228 | A1 | 20050623 | US 2004-824456 | 20040414 |
| PRIORITY APPLN. INFO.: | | | US 2003-470569P | P 20030514 |

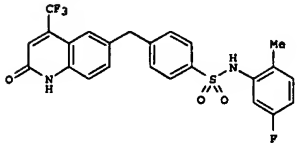
OTHER SOURCE(S): MARPAT 142:6440
GI



L4 ANSWER 1 OF 1 CA COPYRIGHT 2006 ACS on STN (Continued)



I



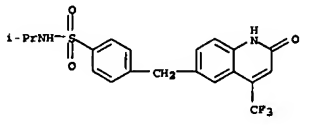
II

AB The invention is directed to 6-(sulfamoylbenzyl)-quinoline/chromene derivs. of formula I, to their use as androgen antagonists, and to formulations containing them. In particular, I are claimed [wherein: M is N(Z) or O; Z is H or alkyl; R1 is H, (halo)alkyl, (halo)alkoxy; R2 is absent, or 1-2 halogen, nitrile, hydroxy, alk(en/yn)yl, alkoxy, haloalkyl, haloalkoxy, SR4, and NR4R5; R4 is H, alkyl, (un)substituted Ph or CH2Ph; R5 = H, alkyl, (un)substituted Ph, benzyl, heteroaryl, or heterocyclic; A and B are independently H, alk(en/yn)yl, alkanol, (un)substituted cycloalkyl, cycloalkenyl, Ph, cycloalkylphenyl, heterocyclic, heteroaryl, alkyl-R6, (CH2)mR7Y(CH2)nXR5, and, (CH2)qCHX1X2; R6 is nitrile, OH, (un)substituted Ph, cycloalkylphenyl, heterocyclic, heteroaryl, cycloalk(en)yl, SR4, NR4R5; R7 is absent, or is (un)substituted cycloalk(en)yl, heteroaryl, heterocyclic, or Ph; R8 is absent or is alkyl, (un)substituted cycloalkyl, cycloalkenyl, heteroaryl, heterocyclic, Ph, or cycloalkylphenyl; m is 0, 1, 2, 3, or 4; Y is absent, or is O, C(O), C(O)O, CH2C(O)O, OH, SH, S, or NR4; n is 0, 1, 2, 3, or 4; X is absent, or is O, C(O), C(O)O, CH2C(O)O, OH, SH, S, or NR4; q is 0, 1, 2, 3, or 4; X1 is OH, nitrile, alk(en/yn)yl, alkanol, haloalkyl, haloalkoxy, (un)substituted cycloalk(en)yl, heteroaryl, heterocyclic, Ph, or cycloalkylphenyl; X2 is cycloalkyl, (un)substituted cycloalkenyl, heteroaryl, heterocyclic, Ph, cycloalkylphenyl, CH2C(O)OR4; including pharmaceutically acceptable salts, solvates, and prodrugs thereof]. Over 200 example compds. were prepared and tested in an androgen receptor assay in vitro. For instance, cyclocondensation of 4-benzylaniline with CF3COCH2CO2Et in refluxing PhMe, sulfonation of the product in H2SO4 at 90°, and treatment with (COCl)2, gave 4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonyl chloride. Treatment of this compd. or its chromene analog with a variety of amines gave compds. I, e.g., compd. II. In a test for inhibition of binding of DHT to androgen receptors expressed in MDA-MB453 human breast tumor cells, II had an IC50 value of 1.12 μM.

IT 799298-02-5P, N-Isopropyl-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of benzyl sulfonamide quinoline and chromene derivs. as androgen receptor antagonists)

RN 799298-02-5 CA

CN Benzenesulfonamide, 4-[[1,2-dihydro-2-oxo-4-(trifluoromethyl)-6-quinolinyl]methyl]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)



IT 799298-02-5P, N-Isopropyl-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-03-6P, N-Butyl-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-04-7P, N-Benzyl-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-05-8P, N-Cyclohexyl-N-(2-hydroxyethyl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-06-9P, N-Ethyl-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]-N-(pyridin-4-ylmethyl)benzenesulfonamide 799298-07-0P, N-Butyl-N-ethyl-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-08-1P, N-Isopropyl-N-methyl-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-09-2P, N-(2-Hydroxyethyl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]-N-propylbenzenesulfonamide 799298-10-5P, N-Benzyl-N-(2-hydroxyethyl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-11-6P, N-(2-Cyanoethyl)-N-methyl-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-12-7P, N,N-Dibenzyl-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-13-8P, N,N-Bis(2-methoxyethyl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-14-9P, N-Methyl-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]-N-[2-(pyridin-2-yl)ethyl]benzenesulfonamide 799298-15-0P, N-Methyl-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]-N-phenethylbenzenesulfonamide 799298-16-1P, N-(4-Ethynylphenyl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-17-2P, N-(3-Cyanophenyl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-18-3P, N-(3-Ethylphenyl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-19-4P, N-(2-Chlorophenyl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-20-7P, 4-[[2-Oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]-N-(quinolin-6-yl)benzenesulfonamide 799298-21-8P, N-Indan-5-yl-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-22-9P, N-(3-Acetylphenyl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-23-0P, N-(4-Methylbiphenyl-3-yl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-24-1P, N-[3-(1-Hydroxyethyl)phenyl]-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-25-2P, N-[3-(Methylsulfanyl)phenyl]-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-26-3P, N-(5-Fluoro-2-methylphenyl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-27-4P, N-(4-Chlorophenyl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-28-5P, N-(Isoquinolin-3-yl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-29-6P, 4-[[2-Oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]-N-(quinolin-3-yl)benzenesulfonamide 799298-30-9P, 4-[[2-Oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]-N-(quinolin-5-yl)benzenesulfonamide 799298-31-0P, 4-[[2-Oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]-N-(quinolin-8-yl)benzenesulfonamide 799298-32-1P, N-(Isoquinolin-5-yl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-33-2P, N-[4-Cyano-5-(methylsulfanyl)-2H-pyrazol-3-yl]-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-34-3P, N-(2,5-Dimethoxyphenyl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-35-4P, N-(3,5-Dimethoxyphenyl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-36-5P, 4-[[2-Oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]-N-(3,4,5-trifluorophenyl)benzenesulfonamide 799298-37-6P, N-[3-(Difluoromethoxy)phenyl]-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-38-7P, 4-[[2-Oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]-N-(5-phenyl-2H-pyrazol-3-yl)benzenesulfonamide 799298-39-8P, N-[3-(Oxazol-5-yl)phenyl]-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-40-1P, 4-[[2-Oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]-N-[3-(trifluoromethyl)phenyl]benzenesulfonamide 799298-41-2P, N-(2-Bromophenyl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-42-3P, 4-[[2-Oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]-N-[2-(piperidin-1-yl)phenyl]benzenesulfonamide 799298-43-4P, 4-[[2-Oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]-N-[3-(trifluoromethoxy)phenyl]benzenesulfonamide 799298-44-5P, N-(3-Benzylphenyl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-45-6P, N-[3-(Benzyloxy)phenyl]-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-46-7P, N-Benzyl-N-(4-

L4 ANSWER 1 OF 1 CA COPYRIGHT 2006 ACS on STN (Continued)
methoxyphenyl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-47-8P, N-[2-[(Cyclohexylmethylamino)methyl]phenyl]-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-48-9P,

4-[[2-Oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]-N-(2,2,3,3-tetrafluoro-2,3-dihydrobenzo[1,4]dioxin-5-yl)benzenesulfonamide 799298-49-0P, N-[4-(Isopropylphenylamino)phenyl]-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-50-3P, 4-[[2-Oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]-N-[4-[3-(trifluoromethyl)pyrazol-1-yl]phenyl]benzenesulfonamide 799298-51-4P, N-(3-Methoxyphenyl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-52-5P, N-(2-Hydroxyethyl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-53-6P, N-(1-Benzylpiperidin-4-yl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-54-7P, N-(2-Methoxyethyl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-55-8P, N-(3,3-Dimethylbutyl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-56-9P, N-[(5-Methylfuran-2-yl)methyl]-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-57-0P, 4-[[2-Oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]-N-[2-(pyrrolidin-1-yl)ethyl]benzenesulfonamide 799298-58-1P, 4-[[2-Oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]-N-phenethylbenzenesulfonamide 799298-59-2P, N-(3-Methylbenzyl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-60-5P, 4-[[2-Oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]-N-[2-(pyridin-2-yl)ethyl]benzenesulfonamide 799298-61-6P, N-[3-(Imidazol-1-yl)propyl]-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-62-7P, N-[2-(Cyclohex-1-enyl)ethyl]-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-63-8P, N-[2-(Morpholin-4-yl)ethyl]-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-64-9P, N-[3-(Dimethylamino)-2,2-dimethylpropyl]-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-65-0P, N-(1-Methyl-1-phenylethyl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-66-1P, 4-[[2-Oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]-N-(2-phenylpropyl)benzenesulfonamide 799298-67-2P, N-(3-Methoxybenzyl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-68-3P, N-(5-Fluoro-2-methylbenzyl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-69-4P, N-[3-(2-Oxopyrrolidin-1-yl)propyl]-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-70-7P, N-(2,6-Difluorobenzyl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-71-8P, 4-[[2-Oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]-N-(4-phenylbutyl)benzenesulfonamide 799298-72-9P, N-(2-Ethoxybenzyl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-

L4 ANSWER 1 OF 1 CA COPYRIGHT 2006 ACS on STN (Continued)
yl]methyl]benzenesulfonamide 799298-73-0P, N-(3-Hydroxy-1-phenylpropyl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-74-1P, N-[2-(Methylsulfanyl)benzyl]-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-75-2P, N-[2-(4-Chlorophenyl)ethyl]-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-76-3P, N-[3-(4-Methylpiperazin-1-yl)propyl]-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-77-4P, N-(5-Chloro-2-fluorobenzyl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-78-5P, N-(3-Chloro-2-fluorobenzyl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-79-6P, N-(2-Chloro-6-fluorobenzyl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-80-9P, N-[2-(1H-Indol-3-yl)ethyl]-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-81-0P,

N-(3,5-Dimethoxybenzyl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-82-1P,

N-[2-(4-Fluorophenyl)-1,1-dimethylethyl]-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-83-2P, N-[2-(tert-Butylsulfanyl)ethyl]-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-84-3P, N-[2-(Difluoromethoxy)benzyl]-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-85-4P, N-(2-Chloro-6-fluoro-3-methylbenzyl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-86-5P,

N-(2,4-Dichlorobenzyl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-87-6P, N-(1-Benzylpyrrolidin-3-yl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-88-7P, N-(2-Chloro-3,6-difluorobenzyl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-89-8P, N-[3-(Hydroxymethyl)bicyclo[2.2.1]hept-2-yl]-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-91-2P, N-[2-Fluoro-5-(trifluoromethyl)benzyl]-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-93-4P,

N-(2,2-Diphenylethyl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-94-5P, N-[2-(Benzyloxy)cyclohexyl]-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-95-6P, N-[2-[[2-(Hydroxymethyl)phenyl]sulfanyl]benzyl]-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide 799298-96-7P,

N-Cyclohexyl-N-(2-hydroxyethyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799298-97-8P, N-Methyl-N-(1-methylpiperidin-4-yl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799298-98-9P, N,N-Dibutyl-4-[[2-oxo-

L4 ANSWER 1 OF 1 CA COPYRIGHT 2006 ACS on STN (Continued)
4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799298-99-0P, N-Ethyl-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]-N-(pyridin-4-ylmethyl)benzenesulfonamide 799299-00-6P, N-Butyl-N-ethyl-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-01-7P, N-Butyl-N-(2-hydroxyethyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-02-8P, N-Isopropyl-N-methyl-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-03-9P, N-(2-Hydroxyethyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]-N-propylbenzenesulfonamide 799299-04-0P, N-Benzyl-N-(2-hydroxyethyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-05-1P, N-(Cyclopropylmethyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]-N-propylbenzenesulfonamide 799299-06-2P, N-(2-Hydroxyethyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]-N-pentylbenzenesulfonamide 799299-07-3P, N-Cyclohexyl-N-methyl-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-08-4P, N-Butyl-N-methyl-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-09-5P, N-(2-Cyanoethyl)-N-methyl-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-10-8P, N,N-Dibenzyl-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-11-9P, N-Benzyl-N-ethyl-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-12-0P, N-Methyl-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]-N-[2-(pyridin-2-yl)ethyl]benzenesulfonamide 799299-13-1P, N-Methyl-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]-N-phenethylbenzenesulfonamide 799299-14-2P, 4-[[2-Oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]-N-phenylbenzenesulfonamide 799299-15-3P, N-Methyl-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]-N-phenylbenzenesulfonamide 799299-16-4P, 4-[[2-Oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]-N-m-tolylbenzenesulfonamide 799299-17-5P, 4-[[2-Oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]-N-p-tolylbenzenesulfonamide 799299-18-6P, N-(4-Fluorophenyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-19-7P, N-(4-Ethynylphenyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-20-0P, N-(3-Ethylphenyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-21-1P, N-(4-Ethylphenyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-22-2P, N-[2-(Hydroxymethyl)phenyl]-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-23-3P, N-[3-(Hydroxymethyl)phenyl]-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-24-4P, N-(2-Methoxyphenyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-25-5P, N-[4-(Hydroxymethyl)phenyl]-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-26-6P, 4-[[2-Oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]-N-(quinolin-6-yl)benzenesulfonamide 799299-27-7P, N-[4-(Cyanomethyl)phenyl]-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-28-8P, N-(Indan-5-yl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-29-9P, N-(Indan-4-yl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-30-2P, N-(3-Acetylphenyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-31-3P, N-(4-Isopropylphenyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide

L4 ANSWER 1 OF 1 CA COPYRIGHT 2006 ACS on STN (Continued)
799299-32-4P, N-Benzo[1,3]dioxol-5-yl-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-33-5P, N-(4-Methylbiphenyl-3-yl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-34-6P, N-[3-(1-Hydroxyethyl)phenyl]-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-35-7P, N-[3-(Methylsulfanyl)phenyl]-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-36-8P, N-(4-Chlorophenyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-37-9P, N-(Isoquinolin-3-yl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-38-0P, 4-[[2-Oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]-N-(quinolin-8-yl)benzenesulfonamide 799299-39-1P, N-[4-Cyano-5-(methylsulfanyl)-2H-pyrazol-3-yl]-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-40-4P, N-(2-Methylquinolin-6-yl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-41-5P, N-(2,5-Dimethoxyphenyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-42-6P, N-(3,5-Dimethoxyphenyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-43-7P, N-(3-Isopropoxyphenyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-44-8P, N-[3-(Difluoromethoxy)phenyl]-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-45-9P, N-[3-(Oxazol-5-yl)phenyl]-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-46-0P, 4-[[2-Oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]-N-[3-(trifluoromethyl)phenyl]benzenesulfonamide 799299-47-1P, 4-[[2-Oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]-N-[2-(piperidin-1-yl)phenyl]benzenesulfonamide 799299-48-2P, 4-[[2-Oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]-N-[3-(trifluoromethoxy)phenyl]benzenesulfonamide 799299-50-6P, N-(3-Benzylphenyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-51-7P, N-(4-Ethoxyphenyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-52-8P, N-[4-(2-Hydroxyethyl)phenyl]-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-53-9P, N-[3-(Benzyloxy)phenyl]-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-54-0P, N-(3,5-Di-tert-butylphenyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-55-1P, N-Benzyl-N-(4-methoxyphenyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-56-2P, 4-[[2-Oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]-N-[4-[3-(trifluoromethyl)pyrazol-1-yl]phenyl]benzenesulfonamide 799299-57-3P, N-(3-Methoxyphenyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-58-4P, N-(1-Benzylpiperidin-4-yl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-59-5P, N-Cyclopentyl-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-60-8P, N-(3-Methylbutyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-61-9P, N-(2,3-Dihydroxypropyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-62-0P, N-(3,3-Dimethylbutyl)-

L4 ANSWER 1 OF 1 CA COPYRIGHT 2006 ACS on STN (Continued)
4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-63-1P, N-Benzyl-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-64-2P, 4-[[2-Oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]-N-(pyridin-3-ylmethyl)benzenesulfonamide 799299-65-3P, N-[(5-Methylfuran-2-yl)methyl]-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-66-4P, N-(Cyclohexylmethyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-67-5P, N-Cycloheptyl-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-68-6P,

N-[1-(Hydroxymethyl)cyclopentyl]-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-69-7P, 4-[[2-Oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]-N-phenethylbenzenesulfonamide 799299-70-0P, N-(3-Methylbenzyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-71-1P, N-(4-Methylbenzyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-72-2P, N-(2-Methylbenzyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-73-3P, 4-[[2-Oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]-N-(1-phenylethyl)benzenesulfonamide 799299-74-4P, N-(4-Fluorobenzyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-75-5P, N-[3-(Imidazol-1-yl)propyl]-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-76-6P, N-[2-(Cyclohex-1-enyl)ethyl]-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-77-7P, 4-[[2-Oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]-N-[2-(thiophen-2-yl)ethyl]benzenesulfonamide 799299-78-8P, N-[(2-Hydroxycyclohexyl)methyl]-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-79-9P, N-(Indan-1-yl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-80-2P, N-(1-Methyl-1-phenylethyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-81-3P, 4-[[2-Oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]-N-(2-phenylpropyl)benzenesulfonamide 799299-82-4P, 4-[[2-Oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]-N-(2-phenoxyethyl)benzenesulfonamide 799299-83-5P, N-(4-Methoxybenzyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-84-6P, N-(3-Methoxybenzyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-85-7P, N-(2-Hydroxy-1-phenylethyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-86-8P, N-(5-Fluoro-2-methylbenzyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-87-9P, N-(3-Chlorobenzyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-88-0P, N-[3-(2-Oxopyrrolidin-1-yl)propyl]-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-89-1P, N-(2,6-Difluorobenzyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-90-4P, N-[(2,3-

Dihydrobenzofuran-5-yl)methyl]-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-91-5P, N-[2-(2-

L4 ANSWER 1 OF 1 CA COPYRIGHT 2006 ACS on STN (Continued)
Methoxyphenyl)ethyl]-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-92-6P, N-(2-Ethoxybenzyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-93-7P, N-(3-Hydroxy-1-phenylpropyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-94-8P, N-(4-Hydroxycyclohexyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-95-9P, N-[2-(Methylsulfanyl)benzyl]-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-96-0P, N-[2-(4-Chlorophenyl)ethyl]-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-97-1P, N-(2-Chloro-6-methylbenzyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-98-2P, N-(2,3-Difluoro-4-methylbenzyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799299-99-3P, N-(2-Chloro-4-fluorobenzyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799300-00-8P, N-[2-(Difluoromethoxy)benzyl]-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799300-01-9P, N-(2-Chloro-6-fluoro-3-methylbenzyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799300-02-0P, 4-[[2-Oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]-N-[2-(trifluoromethyl)benzyl]benzenesulfonamide 799300-03-1P, N-(2-Chloro-3,6-difluorobenzyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799300-04-2P, N-(2-Bromobenzyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799300-05-3P, N-[2-Fluoro-5-(trifluoromethyl)benzyl]-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799300-07-5P, N-(2,2-Diphenylethyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799300-08-6P, N-(4-Bromo-2-fluorobenzyl)-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799300-09-7P, N-[2-(Benzyloxy)cyclohexyl]-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799300-10-0P, N-[2-[(2-Chloro-6-fluorobenzyl)sulfanyl]ethyl]-4-[[2-oxo-4-(trifluoromethyl)-2H-chromen-6-yl]methyl]benzenesulfonamide 799300-11-1P, N-(Cyclopropylmethyl)-4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]-N-propylbenzenesulfonamide 799300-12-2P, N-Butyl-N-methyl-4-[[2-

oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of benzyl sulfonamide quinoline and chromene derivs. as androgen receptor antagonists)
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
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     FILE 'REGISTRY' ENTERED AT 15:39:53 ON 12 JAN 2006
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L2          11 S L1 SAM
L3         207 S L1 FULL

     FILE 'CA' ENTERED AT 15:40:13 ON 12 JAN 2006
L4           1 S L3

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FULL ESTIMATED COST                                     5.62     172.77

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FULL ESTIMATED COST                                     0.44     173.21

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CA SUBSCRIBER PRICE                                     0.00      -0.71

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FILE CONTENT: 1988-PRESENT (VOL 144 ISS 1 (20060101/ED)

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US    6949561 27 SEP 2005
DE 1020040544 15 SEP 2005
EP    1582199 05 OCT 2005
JP 2005320486 17 OCT 2005
WO 2005110983 24 NOV 2005

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L6 ANSWER 1 OF 1 MARPAT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 142:6440 MARPAT

TITLE: Benzyl sulfonamide quinoline and chromene derivatives as androgen receptor antagonists and their preparation, pharmaceutical compositions, and uses

INVENTOR(S): Du, Daniel Yonlong; Procter, Martin James; Fyfe, Matthew Colin Thor; Shah, Vilasben; Williams, Geoffrey Martyn; Schofield, Karen Lesley

PATENT ASSIGNEE(S): Warner-Lambert Company LLC, USA

SOURCE: PCT Int. Appl., 80 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004101544 | A1 | 20041125 | WO 2004-IB1570 | 20040503 |
| WO 2004101544 | C1 | 20051201 | | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

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| US 2005137228 | A1 | 20050623 | US 2004-824458 | 20040414 |

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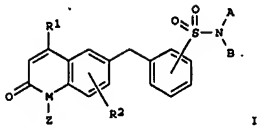
L6 ANSWER 1 OF 1 MARPAT COPYRIGHT 2006 ACS on STN (Continued)



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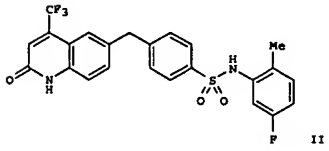
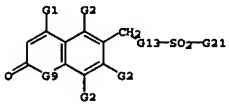


II

AB The invention is directed to 6-(sulfamoylbenzyl)-quinoline/chromene derivs. of formula I, to their use as androgen antagonists, and to formulations containing them. In particular, I are claimed [wherein: M is N(Z) or O; Z is H or alkyl; R1 is H, (halo)alkyl, (halo)alkoxy; R2 is absent, or 1-2 halogen, nitrile, hydroxy, alk(en/yn)yl, alkoxy, haloalkyl, haloalkoxy, SR4, and NR4R5; R4 is H, alkyl, (un)substituted Ph or CH2Ph; R5 = H, alkyl, (un)substituted Ph, benzyl, heteroaryl, or heterocyclic; A and B are independently H, alk(en/yn)yl, alkanol, (un)substituted cycloalkyl, cycloalkenyl, Ph, cycloalkylphenyl, heterocyclic, heteroaryl, alkyl-R6, (CH2)mR7Y(CH2)nXR5, and, (CH2)qCHX1X2; R6 is nitrile, OH, (un)substituted Ph, cycloalkylphenyl, heterocyclic, heteroaryl, cycloalk(en)yl, SR4, NR4R5; R7 is absent, or is (un)substituted cycloalk(en)yl, heteroaryl, heterocyclic, or Ph; R8 is absent or is alkyl, (un)substituted cycloalkyl, cycloalkenyl, heteroaryl, heterocyclic, Ph, or cycloalkylphenyl; m is 0, 1, 2, 3, or 4; Y is absent, or is O, C(O), C(O)O, CH2C(O)O, OH, SH, S, or NR4; n is 0, 1, 2, 3, or 4; X is absent, or is O, C(O), C(O)O, CH2C(O)O, OH, SH, S, or NR4; q is 0, 1, 2, 3, or 4; X1 is OH, nitrile, alk(en/yn)yl, alkanol, haloalkyl, haloalkoxy, (un)substituted cycloalk(en)yl, heteroaryl, heterocyclic, Ph, or cycloalkylphenyl; X2 is cycloalkyl, (un)substituted cycloalkenyl, heteroaryl, heterocyclic, Ph, cycloalkylphenyl, CH2C(O)OR4; including pharmaceutically acceptable salts, solvates, and prodrugs thereof]. Over 200 example compds. were prepared and tested in an androgen receptor assay in vitro. For instance, cyclocondensation of 4-benzylaniline with CF3COCH2CO2Et in refluxing PhMe, sulfonation of the product in H2SO4 at 90°, and treatment with (COCl)2, gave 4-[[2-oxo-4-(trifluoromethyl)-1,2-dihydroquinolin-6-yl]methyl]benzenesulfonyl chloride. Treatment of this compd. or its chromene analog with a variety of amines gave compds. I, e.g., compd. II. In a test for inhibition of binding of DHT to androgen receptors expressed in MDA-MB453 human breast tumor cells, II had an IC50 value of 1.12 μM.

MSTR 1



G1 = Me
G9 = O
G13 = o-C6H4
G21 = 16

Patent location: claim 1
Note: and pharmaceutically acceptable salts, solvates, and prodrugs

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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=> d his

     (FILE 'HOME' ENTERED AT 15:39:49 ON 12 JAN 2006)

     FILE 'REGISTRY' ENTERED AT 15:39:53 ON 12 JAN 2006
L1              STRUCTURE UPLOADED
L2           11 S L1 SAM
L3          207 S L1 FULL

     FILE 'CA' ENTERED AT 15:40:13 ON 12 JAN 2006
L4            1 S L3

     FILE 'CAOLD' ENTERED AT 15:40:55 ON 12 JAN 2006
L5            0 S L3

     FILE 'MARPAT' ENTERED AT 15:41:00 ON 12 JAN 2006
L6            1 S L1 FULL

=>

---Logging off of STN---


=>
Executing the logoff script...


=> LOG Y

COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                      ENTRY    SESSION
FULL ESTIMATED COST                                   122.17     295.38

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
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CA SUBSCRIBER PRICE                                    -0.71      -1.42

STN INTERNATIONAL LOGOFF AT 15:41:44 ON 12 JAN 2006
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